

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
28 April 2005 (28.04.2005)

PCT

(10) International Publication Number
WO 2005/037788 A1

(51) International Patent Classification⁷: **C07D 209/42** (74) Agents: MAJUMDAR, S. et al.; S. Majumdar & CO., 5,
Harish Mukherjee Road, Kolkata 700 025 (IN).

(21) International Application Number:

PCT/IN2003/000340

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 21 October 2003 (21.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant (*for all designated States except US*): LUPIN LTD. [IN/IN]; 159, CST Road, Kalina, Santacruz (East), Mumbai 400 098 (IN).
(72) Inventors; and
(75) Inventors/Applicants (*for US only*): SINGH, Girij, Pal [IN/IN]; Lupin Ltd. (Research Park), Survey No. 46A/47A, Nande Village, Taluka Mulshi, Pune 411 042 (IN). GODBOLE, Himanshu, Madhav [IN/IN]; Lupin Ltd. (Research Park), Survey No. 46A/47A, Nande Village, Taluka Mulshi, Pune 411 042 (IN). NEHATE, Sagar, Purushottam [IN/IN]; Lupin Ltd. (Research Park), Survey No. 46A/47A, Nande Village, Taluka Mulshi, Pune 411 042 (IN).

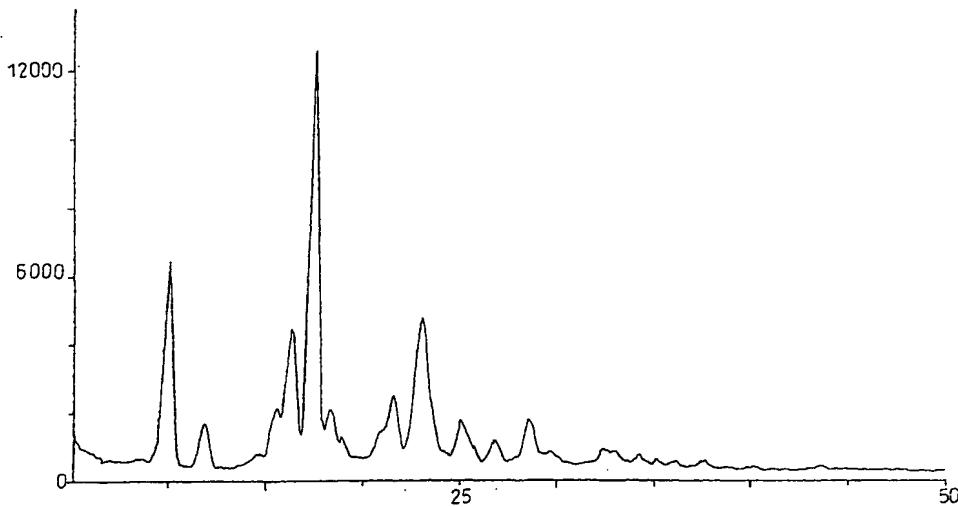
(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL METHOD FOR PREPARATION OF CRYSTALLINE PERINDOPRIL ERBUMINE



WO 2005/037788 A1

(57) Abstract: A process for preparation of crystalline perindopril erbumine of formula (II) which exhibits the X-ray (powder) diffraction pattern like that shown in the figure. The process comprises reacting a solution of perindopril of formula (I), in a solvent selected from N, N-dimethylformamide or dimethyl acetals of lower aliphatic aldehydes and ketones with tertiary butylamine and crystallization of the erbumine salt thus obtained by heating the reaction mixture to reflux, filtering hot, cooling gradually to 20 °C to 30 °C, and further cooling to 0° C to 15 °C for 30 minutes to 1 hour and finally filtering off and drying the crystals.